

08.897,455

Page 1

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L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995-648143 CAPLUS

DOCUMENT NUMBER: 123556394

TITLE: Corticoid 17,21-dicarboxylates and 17-carboxylate-21-carbonates as topical inflammation inhibitors

INVENTOR(S): Stache, Ulrich; Alpermann, Hans-Georg; Duerckheimer, Walter; Bohr, Manfred

PATENT ASSIGNEE(S): Hochst A.-G., Germany

SOURCE: Eur. Pat. Appl., 45 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 646593	A1	19950405	EP 1994-115348	19940929
EP 646593	B1	20011205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4333920	A1	19950413	DE 1993-4333920	19931005
AT 210143	E	20011215	AT 1994-115348	19940929
ES 2168283	T3	20020616	ES 1994-115348	19940929
CN 1106661	A	19950920	CN 1994-116479	19940930
CN 1051316	B	20000412		
FI 9404599	A	19950406	FI 1994-4599	19941003
AU 9474395	A1	19950427	AU 1994-74395	19941003
AU 679551	B2	19970703		
HU 68577	A2	19950628	HU 1994-2831	19941003
HU 219401	B	20010428		
IL 111132	A1	20001031	IL 1994-111132	19941003
CA 2133607	AA	19950406	CA 1994-2133607	19941004
NO 9403701	A	19950406	NO 1994-3701	19941004
ZA 9407739	A	19950518	ZA 1994-7339	19941004
JP 07165788	A2	19950627	JP 1994-263234	19941004
US 2002103392	A1	20020801	US 1997-897455	19970722
PRIORITY APPLN. INFO.:			DE 1993-4333920	A 19931005
			US 1994-310791	B1 19940929
			US 1996-590624	B1 19960124

OTHER SOURCE(S): MARPAT 123:56394

AB Title esters I [A = CHO, CHCl, CH₂, CO; Y = bond; Z = H, F, Me; R1 = (un)substituted alkyl, aryl, heteroaryl; R2 = alkyl, Ph, CH₂Ph; R3 = H, Me; n = 0, 1] were prep'd. from the 17-monoesters. Thus, prednisolone 17-benzoate was treated with PhCH₂CO₂H and dicyclohexylcarbodiimide and pyridinium sulfate in pyridine to give the 21-phenylacetate (II). At 1.0 mg/ml, applied topically with croton oil, II gave 91% inhibition of the croton oil edema in rats.

IT 164343-59-3P 164344-01-8P

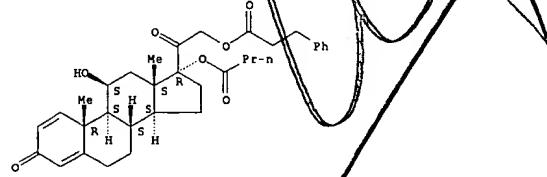
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (corticoid 17,21-dicarboxylates and 17-carboxylate-21-carbonates as topical inflammation inhibitors)

RN 164343-59-3 CAPLUS

CN Pregna-1,4-diene-3,20-dione, 17-(benzoyloxy)-11-hydroxy-21-[(phenylacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

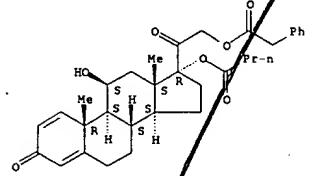
Absolute stereochemistry.



RN 164343-56-0 CAPLUS

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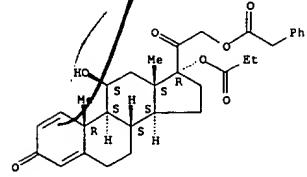
Absolute stereochemistry.



RN 164343-57-1 CAPLUS

CN Pregna-1,4-diene-3,20-dione, 11-hydroxy-17-(1-oxopropoxy)-21-[(phenylacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

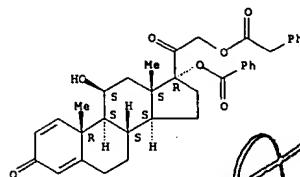
Absolute stereochemistry.



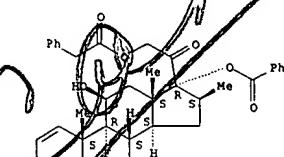
RN 164343-58-2 CAPLUS

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

RN 164344-01-8 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 11-(benzoyloxy)-9-fluoro-11-hydroxy-16-methyl-21-[(phenylacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 164343-43-5P 164343-56-0P 164343-57-1P
164343-58-2P 164343-60-6P 164343-61-7P
164343-64-0P 164343-70-8P 164343-74-2P
164343-75-3P 164343-76-4P 164343-77-5P
164343-78-6P 164343-79-7P 164343-80-0P
164343-81-1P 164343-82-2P 164343-83-3P
164343-84-4P 164343-85-5P 164343-86-6P
164343-87-7P 164343-88-8P 164344-08-5P
164344-36-9PRL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(corticoid 17,21-dicarboxylates and 17-carboxylate-21-carbonates as topical inflammation inhibitors)

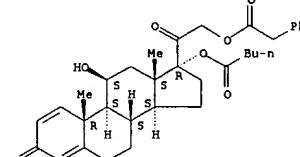
RN 164343-43-5 CAPLUS

CN Pregna-1,4-diene-3,20-dione, 11-hydroxy-17-(1-oxobutoxy)-21-(1-oxo-3-phenylpropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

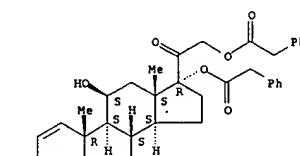
L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CN Pregna-1,4-diene-3,20-dione, 11-hydroxy-17-[(1-oxopentyl)oxy]-21-[(phenylacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

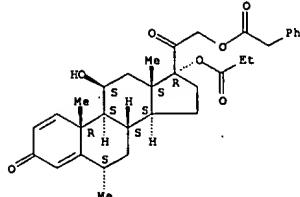
RN 164343-60-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 11-hydroxy-17,21-bis[(phenylacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 164343-61-7 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 11-hydroxy-6-methyl-17-(1-oxopropoxy)-21-[(phenylacetyl)oxy]-, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

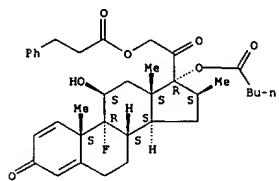
Absolute stereochemistry.

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 164343-64-0 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11-hydroxy-16-methyl-17-[(1-oxopentyl)oxy]-21-(1-oxo-3-phenylpropoxy)-, (11.beta.,16.beta.)- (9CI) (CA INDEX NAME)

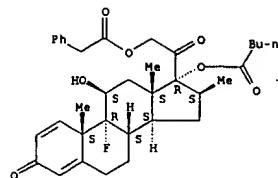
Absolute stereochemistry.



RN 164343-70-8 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11-hydroxy-16-methyl-17-[(1-oxopentyl)oxy]-21-[(phenylacetyl)oxy]-, (11.beta.,16.beta.)- (9CI) (CA INDEX NAME)

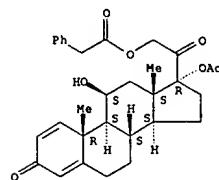
Absolute stereochemistry.

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 164343-74-2 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 17-(acetyloxy)-11-hydroxy-21-[(phenylacetyl)oxy]-, (11.beta.,) - (9CI) (CA INDEX NAME)

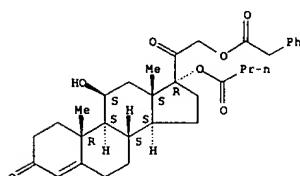
Absolute stereochemistry.



RN 164343-75-3 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 11-hydroxy-17-(1-oxobutoxy)-21-[(phenylacetyl)oxy]-, (11.beta.,) - (9CI) (CA INDEX NAME)

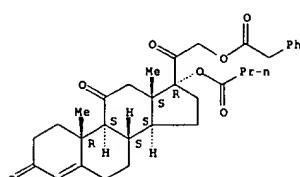
Absolute stereochemistry.

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



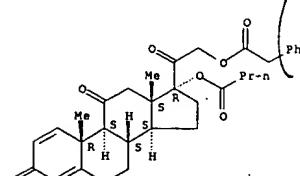
RN 164343-76-4 CAPLUS
CN Pregna-1,4-diene-3,11,20-trione, 17-(1-oxobutoxy)-21-[(phenylacetyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164343-77-5 CAPLUS
CN Pregna-1,4-diene-3,11,20-trione, 17-(1-oxobutoxy)-21-[(phenylacetyl)oxy]- (9CI) (CA INDEX NAME)

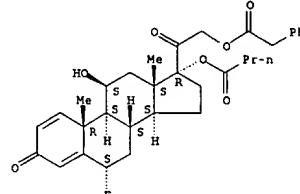
Absolute stereochemistry.



RN 164343-78-6 CAPLUS
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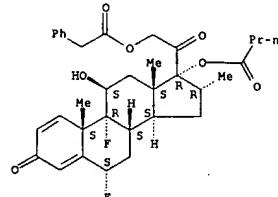
L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
[(phenylacetyl)oxy]-, (6.alpha.,11.beta.,) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164343-79-7 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 6,9-difluoro-11-hydroxy-16-methyl-17-(1-oxobutoxy)-21-[(phenylacetyl)oxy]-, (6.alpha.,11.beta.,16.alpha.,) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

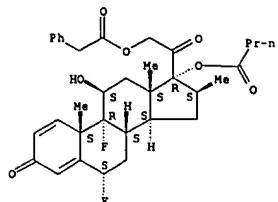


RN 164343-80-0 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 6,9-difluoro-11-hydroxy-16-methyl-17-(1-oxobutoxy)-21-[(phenylacetyl)oxy]-, (6.alpha.,11.beta.,16.beta.,) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

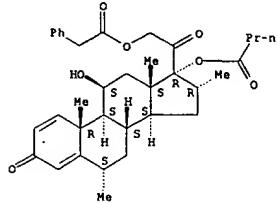
L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)



RN 164343-81-1 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 11-hydroxy-6,16-dimethyl-17-(1-oxobutoxy)-21-[(phenylacetyl)oxy]-, (6.alpha.,11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

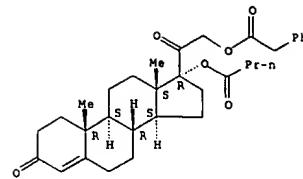
Absolute stereochemistry.



RN 164343-82-2 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 17-(1-oxobutoxy)-21-[(phenylacetyl)oxy]- (9CI) (CA INDEX NAME)

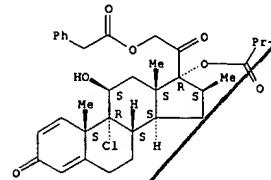
Absolute stereochemistry.

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 164343-83-3 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-chloro-11-hydroxy-16-methyl-17-(1-oxobutoxy)-21-[(phenylacetyl)oxy]-, (11.beta.,16.beta.)- (9CI) (CA INDEX NAME)

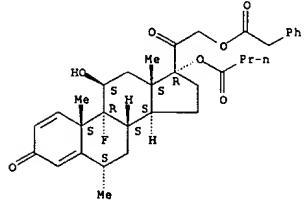
Absolute stereochemistry.



RN 164343-84-4 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11-hydroxy-6-methyl-17-(1-oxobutoxy)-21-[(phenylacetyl)oxy]-, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

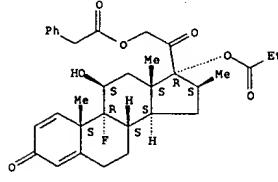
Absolute stereochemistry.

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



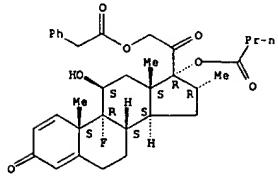
RN 164343-85-5 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11-hydroxy-16-methyl-17-(1-oxobutoxy)-21-[(phenylacetyl)oxy]-, (11.beta.,16.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164343-86-6 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11-hydroxy-16-methyl-17-(1-oxobutoxy)-21-[(phenylacetyl)oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

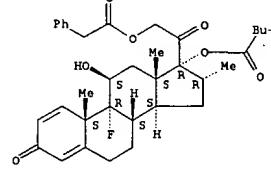
Absolute stereochemistry.



L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

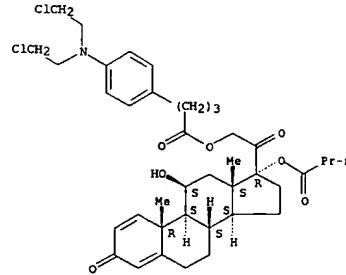
RN 164343-87-7 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 9-fluoro-11-hydroxy-16-methyl-17-(1-oxopentyloxy)-21-[(phenylacetyl)oxy]-, (11.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164343-88-8 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-[4-(4-[bis(2-chloroethyl)amino]phenyl]-1-oxobutoxy]-11-hydroxy-17-(1-oxobutoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

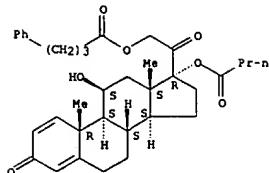
Absolute stereochemistry.



RN 164344-08-5 CAPLUS
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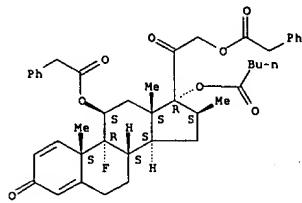
Absolute stereochemistry.

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 164344-36-9 CAPLUS
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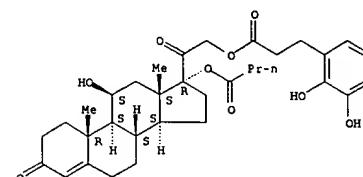
Absolute stereochemistry.



L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1973:515784 CAPLUS
 DOCUMENT NUMBER: 79:115784
 TITLE: Corticosteroid 17- and 21-esters
 INVENTOR(S): Yarrow, Hyman; Whitefield, Martin; Little, John H.
 PATENT ASSIGNEE(S): Dermal Laboratories Ltd.
 SOURCE: Ger. Offen., 28 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2204366	A1	19730802	DE 1972-2204366	19720127
PRIORITY APPN. INFO.:			DE 1972-2204366	19720127
AB	Hydrocortisone and prednisolone 17- and 21-esters (10 compds.), useful as anti-inflammatory agents, were prep'd. Thus, hydrocortisone was esterified with PrCO(OEt) ₂ to yield I (R1 = PrCOEt) which was converted to I' (R = H, R1 = PrCO). Methylation of I' (R = H, R1 = PrCO) followed by treatment with NaI yielded iodopregnenedione II, which was esterified with 2,3-[HO]2-C ₆ H ₃ (CH ₂) ₂ CO ₂ H to give I'' (R = 2,3-[HO]2-C ₆ H ₃ (CH ₂) ₂ CO).			
IT	49756-90-3P 49756-91-4P 49756-92-5P RL: SPA (Synthetic preparation); PREP (Preparation) (prep'n. of)			
RN	49756-90-3 CAPLUS			
CN	Pregn-4-ene-3,20-dione, 21-[3-(2,3-dihydroxyphenyl)-1-oxopropoxy]-11-hydroxy-17-(1-oxobutoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)			

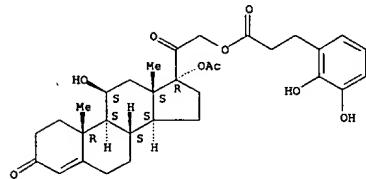
Absolute stereochemistry.



RN 49756-91-4 CAPLUS
 CN Pregn-4-ene-3,20-dione, 17-(acetoxy)-21-[3-(2,3-dihydroxyphenyl)-1-oxopropoxy]-11-hydroxy-17-(1-oxobutoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

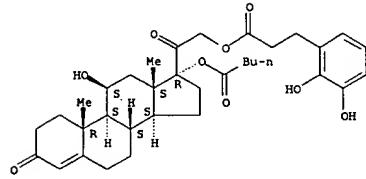
Absolute stereochemistry.

L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 49756-92-5 CAPLUS
 CN Pregna-1,4-diene-3,20-dione, 21-[3-(2,3-dihydroxyphenyl)-1-oxopropoxy]-11-hydroxy-17-(1-oxopentyl)oxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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FILE 'REGISTRY' ENTERED AT 12:05:08 ON 01 MAR 2004

L1 STRUCTURE uploaded

L2 5 S L1

L3 118 S L1 FULL

FILE 'CAPLUS' ENTERED AT 12:06:11 ON 01 MAR 2004

L4 142 S L3

L5 70 S L4 NOT PY>=1992

FILE 'REGISTRY' ENTERED AT 12:08:51 ON 01 MAR 2004

L6 STRUCTURE uploaded

L7 57 S L6 FULL SUB=L3

L8 STRUCTURE uploaded

L9 30 S L8 FULL SUB=L3

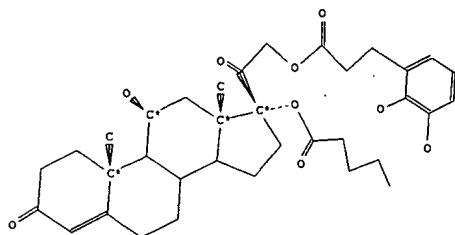
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L10 2 S L9

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L11 ANSWER 1 OF 3 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 2932013
 Beilstein Pref. RN (BPR): 49756-92-5
 CAS Reg. No. (RN): 49756-92-5
 Chemical Name (CN): Hydrocortison-17-valerat-21-<.beta.-.(2,3-dihydroxyphenyl)-propionat>
 Autonom Name (AUN):
 Molec. Formula (MF): C35 H46 O9
 Molecular Weight (MW): 610.74
 Lawson Number (LN): 12033, 10058, 1182
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): Iso cyclic
 Constitution ID (CONSID): 2718794
 Tautomer ID (TAUTID): 288485
 Beilstein Citation (BSO): 5-10
 Entry Date (DED): 1989/07/11
 Update Date (DUDP): 1989/07/26

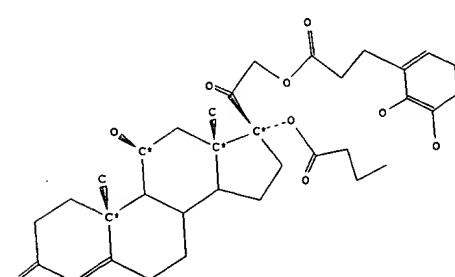


Field Availability:

Code	Name	Occurrence
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CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1

L11 ANSWER 2 OF 3 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 2931827
 Beilstein Pref. RN (BPR): 49756-90-3
 CAS Reg. No. (RN): 49756-90-3
 Chemical Name (CN): Hydrocortison-17-butyrat-21-(.beta.-2,3-dihydroxyphenyl)-propionat
 Autonom Name (AUN):
 Molec. Formula (MF): C34 H44 O9
 Molecular Weight (MW): 596.72
 Lawson Number (LN): 12033, 10058, 1173
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): Iso cyclic
 Constitution ID (CONSID): 2718468
 Tautomer ID (TAUTID): 288417
 Beilstein Citation (BSO): 5-10
 Entry Date (DED): 1989/07/11
 Update Date (DUDP): 1989/07/26



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1

L11 ANSWER 1 OF 3 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

(Continued)

LN Lawson Number
 FS File Segment
 CTYPE Compound Type
 CONSID Constitution ID
 TAUTID Tautomer ID
 BSO Beilstein Citation
 ED Entry Date
 UPD Update Date
 MP Melting Point

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value	Ref.
(MP)	
(Cet)	

181 - 184 |1

Reference(s):

1. Patent: Dermal Lab. Ltd. DE 2204366 1973, Chem. Abstr., 79(115784)

Reaction:

RX
 Reaction ID (.ID): 7872465
 Product BRN (.PBRN): 2932013
 Product (.PRO): Hydrocortison-17-valerat-21-<.beta.-.(2,3-dihydroxyphenyl)-propionat>
 No. of React. Details (.NVAR): 1

Reaction Details:

RX
 Reaction RID (.RID): 7872465.1
 Reaction Classification (.CL): Preparation (half reaction)
 Reference(s):
 1. Patent: Dermal Lab. Ltd. DE 2204366 1973, Chem. Abstr., 79(115784)

L11 ANSWER 2 OF 3 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

(Continued)

FW Formular Weight
 LN Lawson Number
 FS File Segment
 CTYPE Compound Type
 CONSID Constitution ID
 TAUTID Tautomer ID
 BSO Beilstein Citation
 ED Entry Date
 UPD Update Date
 MP Melting Point

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value	Ref.
(MP)	
(Cet)	

160 - 165 |1

Reference(s):
 1. Patent: Dermal Lab. Ltd. DE 2204366 1973, Chem. Abstr., 79(115784)

Reaction:

RX
 Reaction ID (.ID): 7872348
 Product BRN (.PBRN): 2931827
 Product (.PRO): Hydrocortison-17-butyrat-21-(.beta.-2,3-dihydroxyphenyl)-propionat
 No. of React. Details (.NVAR): 1

Reaction Details:

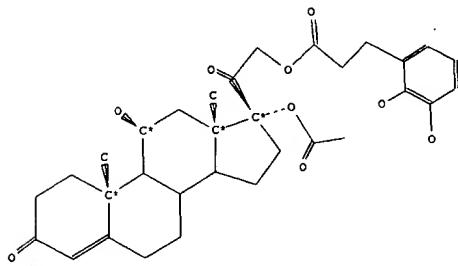
RX
 Reaction RID (.RID): 7872348.1
 Reaction Classification (.CL): Preparation (half reaction)
 Reference(s):
 1. Patent: Dermal Lab. Ltd. DE 2204366 1973, Chem. Abstr., 79(115784)

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1

L11 ANSWER 3 OF 3 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN): 2931194
 Beilstein Pref. RN (BPR): 49756-91-4
 CAS Reg. No. (RN): 49756-91-4
 Chemical Name (CN): Hydrocortison-17-acetat-21-<.beta.-(2.3-dihydroxyphenyl)-propionat>
 Autonom Name (AUN): 3-(2,3-dihydroxy-phenyl)-propionic acid
 Molec. Formula (MF): C₂₂H₃₀O₉
 Molecular Weight (MW): 568.66
 Lawson Number (LN): 12033, 10058, 1155
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): Isocyclic
 Constitution ID (CONSID): 2717689
 Tautomer ID (TAUTID): 2688356
 Beilstein Citation (BSO): S-10
 Entry Date (DED): 1989/07/11
 Update Date (DUDP): 1989/07/26



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1

L11 ANSWER 3 OF 3 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

(Continued)

AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formula Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value	Ref.
(MP)	1
(Cet)	1

164 - 166 |1

Reference(s):

1. Patent: Dermal Lab. Ltd. DE 2204366 1973, Chem.Abstr., 79(115784)

Reaction:

RX	Reaction ID (.ID):	7871932
	Product BRN (.PBRN):	2931194
	Product (.PRO):	Hydrocortison-17-acetat-21-<.beta.-(2.3-dihydroxyphenyl)-propionat>

No. of React. Details (.NVAR): 1

Reaction Details:

RX	Reaction RID (.RID):	7871932.1
	Reaction Classification (.CL):	Preparation (half reaction)

Reference(s):

1. Patent: Dermal Lab. Ltd. DE 2204366 1973, Chem.Abstr., 79(115784)

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L13 ANSWER 1 OF 3 MARPAT COPYRIGHT 2004 ACS on STN

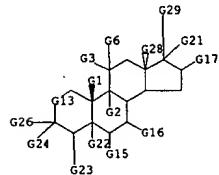
ACCESSION NUMBER: 136:391016 MARPAT

TITLE: Angiostatic agents combined with other agents for lowering and controlling intraocular pressure
 INVENTOR(S): Clark, Abbot F.
 PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
 SOURCE: PCT Int. Appl., 19 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040030	A1	20020523	WO 2000-0531557	200001116
WO 2002040030	C1	20021107		
V: AU, BR, CA, CN, JP, MX, PL, ZA RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 200101709	A5	20020527	AU 2001-17709	200001116
EP 1341541	A1	20030910	EP 2000-980450	200001116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				

PRIORITY APPLN. INFO.: WO 2000-US31557 200001116
 AB Angiostatic agents and another IOP lowering compd. are combined in ophthalmic compns. to treat glaucoma and ocular hypertension. Methods for treating glaucoma and ocular hypertension are also disclosed.

MSTR 1A



G1 = Me
 G5 = cycloalkyl<(3-6)> / alkyl<(1-)> (SR (1-) Ph)
 G13 = 46

G14
CH
46

G21 = 83

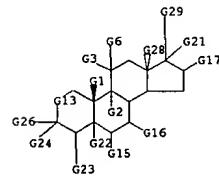
L13 ANSWER 2 OF 3 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 134:91141 MARPAT

TITLE: Combination therapy for lowering and controlling intraocular pressure containing angiostatic steroids
 INVENTOR(S): Clark, Abbot F.
 PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
 SOURCE: U.S., 7 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6172054	B1	20010109	US 1995-491005	19950615
PRIORITY APPLN. INFO.:			US 1995-491005	19950615
AB Angiostatic agents and another IOP lowering compd. are combined in ophthalmic compns. to treat glaucoma and ocular hypertension. Methods for treating glaucoma and ocular hypertension are also disclosed. A soln. was prep'd. contg timolol maleate and 4,9(11)-pregnadiene-17.alpha.,21-diol-3,20-dione 21 acetate.				

MSTR 1A



G1 = Me
 G5 = cycloalkyl<(3-6)> / alkyl<(1-)> (SR (1-) Ph)
 G13 = 46

G14
CH
46

G21 = 83

S—C(O)G5

G28 = Me
 G29 = 233

L13 ANSWER 1 OF 3 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

G9—C(O)G5
G28 = Me
G29 = 233

G53
C(O)—CH—G48
233

G48 = 207
207—C(O)G5

G24+G26= O
 MPL: claim 2
 NTE: and pharmaceutically acceptable salts
 NTE: additional double bond, oxo, epoxy and methylene formation also claimed
 NTE: substitution is restricted

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 3 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

G53
C(O)—CH—G48
233

G48 = 207

207—C(O)G5

G24+G26= O
 MPL: claim 1
 NTE: and pharmaceutically acceptable salts
 NTE: additional double bond, oxo, epoxy and methylene formation also claimed
 NTE: substitution is restricted

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 3 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 128:294939 MARPAT

TITLE: Preparation of nitrate esters of corticoid compounds and pharmaceutical applications thereof
 INVENTOR(S): Del Soldato, Piero
 PATENT ASSIGNEE(S): Nicox S.A., Fr.; Del Soldato, Piero
 SOURCE: PCT Int. Appl., 38 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1

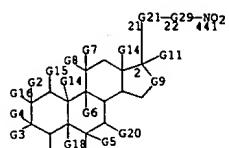
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815560	A2	19980416	WO 1997-EP5426	19971002
WO 9815560	A3	19980618		
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, NO, NZ, PL, RO, RU, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9747803	A1	19980505	AU 1997-47803	19971002
AU 719250	B2	20000504		
EP 929565	A2	19990721	EP 1997-910409	19971002
EP 929565	B1	20020529		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, SI, LT, FI, RO				
BR 9711586	A	19990824	BR 1997-11586	19971002
CN 1253563	A	20000517	CN 1997-180284	19971002
JP 2001501637	T2	20010206	JP 1998-517154	19971002
AT 218142	E	20020615	AT 1997-910409	19971002
RU 2186781	C2	20020810	RU 1999-108661	19971002
PT 929565	T	20021031	PT 1997-97910409	19971002
ES 2177952	T3	20021216	ES 1997-910409	19971002
US 6610676	B1	20030826	US 1999-269729	19990402
KR 2000048911	A	20000725	KR 1999-702942	19990403
PRIORITY APPLN. INFO.:			IT 1996-MI2048	19961004
			WO 1997-EP5426	19971002

AB The title compds. of the general formula B-X1-NO2 or their esters or salts, where B has structure I where there may be substituents in place of the H in the CH group or two hydrogens H2 in the CH2 group shown in the general formula; R and R1 are equal or different one from the other and may be hydrogen or linear or branched alkyls having from 1 to 4 carbon atoms, preferably R = R1 = CH3; B being a corticosteroid residue; R2 is -(CO-L)^x-X^y- where x and y are integers equal or different one from the other and equal to 0 or 1; where L is a bivalent connecting group; X is equal to X2 where X2 = O, NH, NR3 where R3 is a linear or branched alkyl having from 1 to 10 C atoms; or equal to X3 where X3 is equal to OH, CH3, Cl, N(CH2CH3)2, SCH2F, SH; X1 is a bivalent connecting bridge YO where Y is a C1-C20 alkylene were prep'd. Thus, hydrocortisone was treated with 4-chlorobutanoyl chloride followed by treatment with AgNO2 to give the nitro deriv. II. II had a 62% antiarthritic activity in rats at 10 mg/kg, but did not affect cardiovascular parameters.

NOTE 1

L13 ANSWER 3 OF 3 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



G9 = 259

HCO-G10

G11 = OCOCMe
 G14 = Me
 G21 = 378-2 381-22

378-C(=O)CH2-O-C(=O)381
 G31 = phenylene
 G32 = alkylene<EC (1-3) C, DC (0) M3>
 G3 + G4 = O
 DER: or esters or salts
 MPL: claim 1
 NTE: additional ring fusion also claimed

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(FILE 'HOME' ENTERED AT 12:04:52 ON 01 MAR 2004)

FILE 'REGISTRY' ENTERED AT 12:05:08 ON 01 MAR 2004

L1 STRUCTURE uploaded
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L3 118 S L1 FULL

FILE 'CAPLUS' ENTERED AT 12:06:11 ON 01 MAR 2004

L4 142 S L3
L5 70 S L4 NOT PY>=1992

FILE 'REGISTRY' ENTERED AT 12:08:51 ON 01 MAR 2004

L6 STRUCTURE uploaded
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L8 STRUCTURE uploaded
L9 30 S L8 FULL SUB=L3

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L10 2 S L9

FILE 'BEILSTEIN' ENTERED AT 12:13:37 ON 01 MAR 2004

L11 3 S L9 FULL

FILE 'MARPAT' ENTERED AT 12:14:58 ON 01 MAR 2004

L12 4 S L9 FULL
L13 3 S L12 NOT L10